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PTO 1590
(9)

US DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE

ATTORNEY DOCKET NUMBER
2002-0287A

TRANSMITTAL LETTER TO THE UNITED STATES
DESIGNATED/ELECTED OFFICE (DO/EO/US)
CONCERNING A FILING UNDER 35 U.S.C. §371

U.S. APPLICATION NO.
(If known, see 37 CFR 1.5)
[Not yet Assigned]

International Application No.
PCT/JP00/06185

International Filing Date
September 11, 2000

Priority Date Claimed
September 14, 1999

Title of Invention.
2-IMINO-1,3-THIAZINE DERIVATIVES

Applicant(s) For DO/EO/US
Koji HANASAKI; Takami MURASHI; Hiroyuki KAI

Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:

1. ☐ This is a **FIRST** submission of items concerning a filing under 35 U.S.C. §371.
2. ☒ This is a **SECOND** or **SUBSEQUENT** submission of items concerning a filing under 35 U.S.C. §371.
3. ☐ This express request to begin national examination procedures (35 U.S.C. §371(f)) at any time rather than delay examination until the expiration of the applicable time limit set in 35 U.S.C. §371(b) and PCT Articles 22 and 39(1).
4. ☐ A proper Demand for International Preliminary Examination was made by the 19th month from the earliest claimed priority date.
5. ☐ A copy of the International Application as filed (35 U.S.C. §371(c)(2))
 - a. ☐ is transmitted herewith (required only if not transmitted by the International Bureau).
 - b. ☐ has been transmitted by the International Bureau.
 - c. ☐ is not required, as the application was filed in the United States Receiving Office (RO/US)
6. ☐ A translation of the International Application into English (35 U.S.C. §371(c)(2)).
7. ☐ Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. §371(c)(3)).
 - a. ☐ are transmitted herewith (required only if not transmitted by the International Bureau).
 - b. ☐ have been transmitted by the International Bureau.
 - c. ☐ have not been made; however, the time limit for making such amendments has NOT expired.
 - d. ☐ have not been made and will not be made.
8. ☐ A translation of the amendments to the claims under PCT Article 19.
9. ☐ An oath or declaration of the inventor(s) (35 U.S.C. §371(c)(4)).
10. ☒ A translation of the annexes to the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. §371(c)(5)).

Items 11. to 14. below concern other document(s) or information included:

11. ☐ An Information Disclosure Statement under 37 CFR 1.97 and 1.98.
12. ☐ An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.
13. ☐ A **FIRST** preliminary amendment.

☐ A **SECOND** or **SUBSEQUENT** preliminary amendment.
14. ☐ Other items or information:

RECEIVED
 JUN 17 2002
 TECH CENTER 1600/2900

U.S. APPLICATION NO. (If known, use 37 CFR 1.5) [Not yet Assigned]	INTERNATIONAL APPLICATION NO. PCT/JP00/06185	ATTORNEY'S DOCKET NO. 2002-0287A
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15. <input type="checkbox"/> The following fees are submitted BASIC NATIONAL FEE (37 CFR 1.492(a)(1)-(5)): Neither international preliminary examination fee nor international search fee paid to USPTO and International Search Report not prepared by the EPO or JPO \$1040.00 International Search Report has been prepared by the EPO or JPO \$ 890.00 International preliminary examination fee not paid to USPTO but international search paid to USPTO \$ 740.00 International preliminary examination fee paid to USPTO but claims did not satisfy provisions of PCT Article 33(1)-(4) \$ 690.00 International preliminary examination fee paid to USPTO and all claims satisfied provisions of PCT Article 33(1)-(4) \$ 100.00 ENTER APPROPRIATE BASIC FEE AMOUNT =	<table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 50%;">CALCULATIONS</td> <td style="width: 50%;">PTO USE ONLY</td> </tr> <tr><td style="height: 100px;"></td><td></td></tr> </table>	CALCULATIONS	PTO USE ONLY														
CALCULATIONS	PTO USE ONLY																
Surcharge of \$130.00 for furnishing the oath or declaration later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(e)).																	
<table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <th style="width: 20%;">Claims</th> <th style="width: 20%;">Number Filed</th> <th style="width: 20%;">Number Extra</th> <th style="width: 40%;">Rate</th> </tr> <tr> <td>Total Claims</td> <td style="text-align: center;">-20 =</td> <td></td> <td>X \$18.00</td> </tr> <tr> <td>Independent Claims</td> <td style="text-align: center;">- 3 =</td> <td></td> <td>X \$84.00</td> </tr> <tr> <td colspan="3">Multiple dependent claim(s) (if applicable)</td> <td>+ \$280.00</td> </tr> </table>	Claims	Number Filed	Number Extra	Rate	Total Claims	-20 =		X \$18.00	Independent Claims	- 3 =		X \$84.00	Multiple dependent claim(s) (if applicable)			+ \$280.00	
Claims	Number Filed	Number Extra	Rate														
Total Claims	-20 =		X \$18.00														
Independent Claims	- 3 =		X \$84.00														
Multiple dependent claim(s) (if applicable)			+ \$280.00														
TOTAL OF ABOVE CALCULATIONS =																	
<input type="checkbox"/> Small Entity Status is hereby asserted. Above fees are reduced by 1/2.																	
SUBTOTAL =																	
Processing fee of \$130.00 for furnishing the English translation later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(f)).		+															
TOTAL NATIONAL FEE =																	
Fee for recording the enclosed assignment (37 CFR 1.21(h)). The assignment must be accompanied by an appropriate cover sheet (37 CFR 3.28, 3.31). \$40 per property		+															
TOTAL FEES ENCLOSED =																	
		<table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 80%;">Amount to be refunded</td> <td style="width: 20%;">\$</td> </tr> <tr> <td>Amount to be charged</td> <td>\$</td> </tr> </table>	Amount to be refunded	\$	Amount to be charged	\$											
Amount to be refunded	\$																
Amount to be charged	\$																

a. ☐ A check in the amount of \$_____ to cover the above fees is enclosed. A duplicate copy of this form is enclosed.

b. ☐ Please charge my Deposit Account No. 23-0975 in the amount of \$_____ to cover the above fees.
 A duplicate copy of this sheet is enclosed.

c. ☐ The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any
 overpayment to Deposit Account No. 23-0975.

**NOTE: Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive (37 CFR 1.137(a) or (b))
 must be filed and granted to restore the application to pending status.**

19. CORRESPONDENCE ADDRESS <div style="text-align: center;">  000513 PATENT TRADEMARK OFFICE </div>	By: <u>Matthew Jaded</u> Matthew Jaded, Registration No. 25,154 WENDEROTH, LIND & PONACK, L.L.P. 2033 "K" Street, N.W., Suite 800 Washington, D.C. 20006-1021 Phone: (202) 721-8200 Fax: (202) 721-8250 March 8, 2002
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PATENT COOPERATION TREATY

PCT

NOTIFICATION OF TRANSMITTAL
OF COPIES OF TRANSLATION
OF THE INTERNATIONAL PRELIMINARY
EXAMINATION REPORT

(PCT Rule 72.2)

From the INTERNATIONAL BUREAU

To:

YAMAUCHI, Hideaki
Shionogi & Co., Ltd. Intellectual
Property Department
12-4, Sagisu 5-chome, Fukushima-ku
Osaka-shi, Osaka 553-0002
JAPON

Rec. No.	
Case No.	51-06034WO
Mail No.	7/14
Examination No.	

Date of mailing (day/month/year) 15 February 2002 (15.02.02)	IMPORTANT NOTIFICATION International filing date (day/month/year) 11 September 2000 (11.09.00)
Applicant's or agent's file reference 51-06034WO	
International application No. PCT/JP00/06185	
Applicant SHIONOGI & CO., LTD. et al	

1. Transmittal of the translation to the applicant.

The International Bureau transmits herewith a copy of the English translation made by the International Bureau of the international preliminary examination report established by the International Preliminary Examining Authority.

2. Transmittal of the copy of the translation to the elected Offices.

The International Bureau notifies the applicant that copies of that translation have been transmitted to the following elected Offices requiring such translation:

EP, AT, AU, CA, CH, CN, CZ, FI, NO, NZ, RO, RU, SK, US

The following elected Offices, having waived the requirement for such a transmittal at this time, will receive copies of that translation from the International Bureau only upon their request:

AP, EA, AE, AG, AL, AM, AZ, BA, BB, BG, BR, BY, BZ, CR, CU, DE, DK, DM, DZ, EE, ES, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, PL, PT, SD, SE, SG, SI, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, OA

3. Reminder regarding translation into (one of) the official language(s) of the elected Office(s).

The applicant is reminded that, where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report.

It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned (Rule 74.1). See Volume II of the PCT Applicant's Guide for further details.

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No. (41-22) 740.14.35

Form PCT/IB/338 (July 1996)

Authorized officer

Eliott PERETTI

Telephone No. (41-22) 338.83.38



4666690

97
Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 51-06034WO	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/JP00/06185	International filing date (day/month/year) 11 September 2000 (11.09.00)	Priority date (day/month/year) 14 September 1999 (14.09.99)
International Patent Classification (IPC) or national classification and IPC C07D 277/18, 279/06, 279/08, 417/12, A61K 31/426, 31/541, 31/5415, 31/547, A61P 13/12, 29/00, 37/06, 43/00 // C07D 417/12, 213:36, 279:06), (C07D 417/12, 215:12, 279:06), (C07D 417/12, 279:06, 333:34)		
Applicant SHIONOGI & CO., LTD.		

<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>9</u> sheets, including this cover sheet.</p> <p><input checked="" type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of <u>1</u> sheets.</p>	
<p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the report</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input checked="" type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input checked="" type="checkbox"/> Certain observations on the international application</p>	

Date of submission of the demand 09 January 2001 (09.01.01)	Date of completion of this report 12 September 2001 (12.09.2001)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP00/06185

I. Basis of the report

1. With regard to the elements of the international application:*



the international application as originally filed



the description:

pages _____, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____



the claims:

pages _____ 1-26 _____, as originally filed

pages _____, as amended (together with any statement under Article 19

pages _____, filed with the demand

pages _____ 27-33 _____, filed with the letter of _____ 09 March 2001 (09.03.2001)



the drawings:

pages _____, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____



the sequence listing part of the description:

pages _____, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language _____ which is:



the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).



the language of publication of the international application (under Rule 48.3(b)).



the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP00/06185

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 21-23 1-20,24-33

because:

☒ the said international application, or the said claims Nos. 21-23
relate to the following subject matter which does not require an international preliminary examination (*specify*):

See supplemental sheet for continuation of Box III. 1.

☒ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. 1-20,24-33
are so unclear that no meaningful opinion could be formed (*specify*):

See supplemental sheet for continuation of Box III. 1.

☐ the claims, or said claims Nos. _____ are so inadequately supported
by the description that no meaningful opinion could be formed.

☒ no international search report has been established for said claims Nos. 21-23 1-20,24-33

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP 00/06185

Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: III. 1.

- X Claims 21-23 in their entirety and parts of Claims 1-20 and 24-30

Claims 21-23 pertain to methods for treatment of the human body by therapy (PCT Article 34 (4)(a)(i) and PCT Rule 67.1 (iv))

For the reasons given in Section VII, the claims and description do not satisfy conditions to enable meaningful international preliminary examination.

Therefore, this international preliminary examination report considers only inventions presented in the description which satisfy the following conditions.

- Substituent group A is an optionally substituted phenyl group or an optionally substituted 3-pyridyl group
- m is an integer 0 to 2
- R¹ is a C2 or C3 optionally substituted straight-chain alkylene group
- R² is an alkyl group, -C(=R⁵)-R⁶ group or -SO₂R⁷ group (where substituent groups R⁵, R⁶ and R⁷) are as defined in the claims.

- X Claims 21-23 in their entirety and parts of Claims 1-20 and 24-30 X Claims 21-23 in their entirety and parts of Claims 1-20 and 24-30

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP 00/06185

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	7, 11-20, 26-33	YES
	Claims	1-6, 8-10, 24, 25	NO
Inventive step (IS)	Claims	7, 11-20, 26-33	YES
	Claims	1-6, 8-10, 24, 25	NO
Industrial applicability (IA)	Claims	1-20, 24-33	YES
	Claims		NO

2. Citations and explanations

This opinion is based on the following documents cited in the international search report.

Document 1: J. Gieldanowski et al., Arch Immunol. Ther. Exp., 26 (1-6), pp. 921-929

Document 2: JP, 62-212378, A (Bayer AG)

Document 3: JP, 2-3678, A (Janssen Pharmaceutica NV)

Document 4: FR, 2201080, A (Badische Anilin- & Soda-Fabrik AG)

Document 5: JP, 2-223564, A (Ube Industries, Ltd.)

Document 6: JP, 63-41471, A (Nippon Soda Co., Ltd.)

Document 7: JP, 57-134472, A (Hoechst AG)

Document 8: JP, 59-172486, A (Janssen Pharmaceutica NV)

Document 9: JP, 56-10180, A (Hoechst AG)

Document 10: JP, 52-51364, A (Hoechst AG)

Document 11: JP, 52-17468, A (Hoechst AG)

Document 12: JP, 51-54555, A (Hoechst AG)

Document 13: JP, 50-37775, A (Egyt Gyogyszervegyeszeti Gyar)

Document 14: JP, 48-36169, A (Bayer AG)

Document 15: JP, 48-23793, A (Imperial Chemical Industries, Ltd.)

Document 16: US, 3678041, A (Etablissements Clin-Byla)

Document 17: JP, 6-220053, A (Fuji Photo Film Co., Ltd.)

Document 18: N. J. Gailwad et al., Indian J. Pharm. Sci.,

46 (5), pp. 170-171 (1984)

Claims 1-6, 8-10, 24 and 25

Document 1, abstract, Table 3 and page 928, lines 13-14, indicates that although thiazinocarbonyl derivatives shown in Table 3 have a weak antiinflammatory action they have a potent immunosuppressant action.

Document 2, claims, page 25, upper right column and examples, Document 3, claims, page 14, lower right column, and examples, and Document 4, claims, page 4, lines 1-19, and examples, disclose thiazolidine-2-imide derivatives suitable for managing and preventing inflammation.

Document 5, claims and Table 1, and Document 6, claims and Table 1, disclose thiazolidine-2-imino derivatives which are derivatives of aminopyridine and oxa(thia)zolidine respectively.

Document 7, claims and page 9, lower right column to page 10, lower right column, Document 8, claims, page 11 upper right column to lower left column, and examples, Document 9, claims, page 18, upper left column to page 19, upper left column, and examples, Document 10, claims and examples, Document 11, claims and examples, Document 12, claims, page 28, lower right column to page 29, upper right column, and examples, Document 13, claims, page 2, upper left column, and examples, Document 14, claims, page 3, upper left column to page 4, upper right column, and examples, Document 15, claims, page 3, upper left column, and examples, Document 16, claims, page 1, lines 1-11, and examples. Document 17, claims and paragraphs [0014], [0015] and [0024], and Document 18 disclose pharmaceutical preparations in which the active ingredient is a thiazolidine-2-imino derivatives.

Therefore, the inventions set forth in Claims 1-6, 8-10, 24 and 25 are disclosed in Documents 1 to 18 and are not novel.

Claims 11-20, 27-33

Comparing the inventions set forth in the claims above with the inventions disclosed in Documents 5 and 6, they differ in as much as in the former R¹ is a C2-9 alkylene substituted with an alkylene, or a branched-chain C2-9 alkylene, whereas the latter do not have this chemical structure.

Moreover, no document mentions that a compound disclosed in Document 5 or 6 or any other document acts by a mechanism involving an affinity for or agonist effect on cannabinoid receptor 2, and a person skilled in the art could not easily derive the inventions set forth in the above claims from the disclosures in these documents.

Therefore, the inventions set forth in 11-20 and 27-33 involve an inventive step relative to Documents 1 to 18.

It should be noted that the present opinion has been arrived by using the results of an international search as defined in Section III and Section VIII.

Continuation of the international patent classification
(IPC)

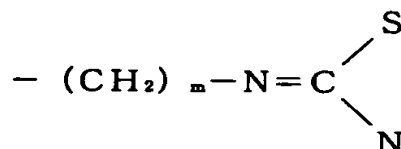
//(C07D417/12, C07D213:36, C07D79:06), (C07D417/12,
C07D215:12, C07D279:06), (C07D417/12, C07D279:06,
C07D333:34)

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claims 1-20 and 24-33

The technical feature of all of the inventions set forth in Claims 1-20 and 24-33 is compounds represented by Formula (I) or Formula (II) in themselves or the pharmaceutical use of said compounds, and the chemical structure common to the group of compound included in Formula (I) and Formula (II) reduces to the following partial structure.



However, as the list of documents indicates, compounds having this chemical structure and pharmaceutical compositions using said compounds are well known; therefore, the technical feature is not adequately specified by this chemical structure, and the description only supports some of the wide range of compounds encompassed in this group of chemicals.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP00/06185

VI. Certain documents cited

1. Certain published documents (Rule 70.10)

<u>Application No. Patent No.</u>	<u>Publication date (day/month/year)</u>	<u>Filing date (day/month/year)</u>	<u>Priority date (valid claim) (day/month/year)</u>
WO 00/42031 A2 [PX]	20 July 2000 (20.07.2000)	14 December 1999 (14.12.1999)	14 January 1999 (14.01.1999)

2. Non-written disclosures (Rule 70.9)

<u>Kind of non-written disclosure</u>	<u>Date of non-written disclosure (day/month/year)</u>	<u>Date of written disclosure referring to non-written disclosure (day/month/year)</u>